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New pyrazole derivatives – useful for treating TNF mediated disorders and p38 kinase med

Patent Assignee: SEARLE &amp; CO G D (SEAR); HANSON G J (HANS-I); LIAO S (LIAO-I)

Inventor: HANSON G J; LIAO S

Patent Family ( 8 patents, 81 countries )

| Patent Number  | Kind | Date     | Application Number | Kind | Date     | Update | Type |
|----------------|------|----------|--------------------|------|----------|--------|------|
| WO 1998052941  | A1   | 19981126 | WO 1998US11684     | A    | 19980522 | 199908 | B    |
| AU 199877268   | A    | 19981211 | AU 199877268       | A    | 19980522 | 199917 | E    |
| EP 1019394     | A1   | 20000719 | EP 1998925277      | A    | 19980522 | 200036 | E    |
|                |      |          | WO 1998US11684     | A    | 19980522 |        |      |
| US 6087381     | A    | 20000711 | US 199747569       | P    | 19970522 | 200037 | E    |
|                |      |          | US 199883724       | A    | 19980522 |        |      |
| JP 2002502380  | W    | 20020122 | JP 1998550759      | A    | 19980522 | 200211 | E    |
|                |      |          | WO 1998US11684     | A    | 19980522 |        |      |
| US 6503930     | B1   | 20030107 | US 199747569       | P    | 19970522 | 200306 | E    |
|                |      |          | US 199883724       | A    | 19980522 |        |      |
|                |      |          | US 2000540464      | A    | 20000331 |        |      |
| US 20030144529 | A1   | 20030731 | US 199747569       | P    | 19970522 | 200354 | E    |
|                |      |          | US 199883724       | A    | 19980522 |        |      |
|                |      |          | US 2000540464      | A    | 20000331 |        |      |
|                |      |          | US 2002267650      | A    | 20021009 |        |      |
| US 6852740     | B2   | 20050208 | US 199747569       | P    | 19970522 | 200511 | E    |
|                |      |          | US 199883724       | A    | 19980522 |        |      |
|                |      |          | US 2000540464      | A    | 20000331 |        |      |
|                |      |          | US 2002267650      | A    | 20021009 |        |      |

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## Patent Details

| Patent Number                        | Kind   | Lan | Pgs | Draw | Filing Notes |
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| WO 1998052941                        | A1   | EN  | 111 | 0    |              |
| National Designated States, Original | AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM GW HU ID IL IS JP KE KG KP KR KZ |     |     |      |              |

|  |   |    |     |  |  |  |  |                             |                |  |  |  |  |  |  |  |
|--|---|----|-----|--|--|--|--|-----------------------------|----------------|--|--|--|--|--|--|--|
|  | LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT<br>RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU<br>ZW |    |     |  |  |  |  |                             |                |  |  |  |  |  |  |  |
| Regional Designated<br>States,Original | AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS<br>LU MC MW NL OA PT SD SE SZ UG ZW                         |    |     |  |  |  |  |                             |                |  |  |  |  |  |  |  |
| AU 199877268                           | A   | EN |     |  |  |  |  | Based on OPI patent         | WO 1998052941  |  |  |  |  |  |  |  |
| EP 1019394                             | A1  | EN |     |  |  |  |  | PCT Application             | WO 1998US11684 |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Based on OPI patent         | WO 1998052941  |  |  |  |  |  |  |  |
| Regional Designated<br>States,Original | AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE  |    |     |  |  |  |  |                             |                |  |  |  |  |  |  |  |
| US 6087381                             | A   | EN |     |  |  |  |  | Related to Provisional      | US 199747569   |  |  |  |  |  |  |  |
| JP 2002502380                          | W   | JA | 116 |  |  |  |  | PCT Application             | WO 1998US11684 |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Based on OPI patent         | WO 1998052941  |  |  |  |  |  |  |  |
| US 6503930                             | B1  | EN |     |  |  |  |  | Related to Provisional      | US 199747569   |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Division of application     | US 199883724   |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Division of patent          | US 6087381     |  |  |  |  |  |  |  |
| US 20030144529                         | A1  | EN |     |  |  |  |  | Related to Provisional      | US 199747569   |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Continuation of application | US 199883724   |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Continuation of application | US 2000540464  |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Continuation of patent      | US 6087381     |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Continuation of patent      | US 6503930     |  |  |  |  |  |  |  |
| US 6852740                             | B2  | EN |     |  |  |  |  | Related to Provisional      | US 199747569   |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Continuation of application | US 199883724   |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Continuation of application | US 2000540464  |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Continuation of patent      | US 6087381     |  |  |  |  |  |  |  |
|  |   |    |     |  |  |  |  | Continuation of patent      | US 6503930     |  |  |  |  |  |  |  |

## Alerting Abstract WO A1

Pyrazole derivatives of formula (I), their salts and tautomers, are new. R1 = hydrido, 1-20C alkyl, 3-12C cycloalkyl, 2-20C alkenyl, 3-12C cycloalkenyl, 2-20C alkynyl, aryl, heterocyclyl, 3-12C cycloalkyl 1-20C alkylene, 3-12C cycloalkenyl 1-20C alkylene, halo 1-20C alkyl, hydroxy 1-20C alkyl, hydroxy 2-20C alkenyl, hydroxy 2-20C alkynyl, aryl 1-20C alkyl, aryl 1-20C alkenyl, aryl 1-20C alkynyl, heterocyclyl 1-20C alkylene, 1-20C alkoxy 1-20C alkyl, aryloxy 1-20C alkyl, heterocycliloxy 1-20C alkyl, mercapto 1-20C alkyl, mercaptoaryl, mercaptoheterocyclyl, 1-20C alkylthio 1-20C alkylene, arylthio 1-20C alkylene, amino, 1-20C alkylamino, arylamino, amino 1-20C alkyl, aminoaryl, 1-20C alkylamino 1-20C alkylene or heterocyclyl 1-20C alkylene; Q = oxy, thio, 1-20C alkylene, 1-20C alkylenylene, 1-20C alkylnylene, sulphinyl, sulphonyl, CO, CH(OH), N(R12), CONR6, N(R6)CO, N(R9)CON(R10), SO2N(R11), C(R7)(R8)CO or a group of formula (a) or (b); group (b) = 4- 8-membered ring heterocyclylidenyl comprising one or more heteroatoms selected from O, S and N; n = 1-7; R2 = aryl optionally substituted by one or more halo, 1-20C alkyl, 2-20C alkenyl, 2-20C alkynyl, aryl, heterocyclyl, 1-20C alkoxy, 2-20C alkenoxy, 2-20C alkynoxy, aryloxy, heterocycliloxy, aryl 1-20C alkoxy, 1-20C alkylthio, arylthio, 1-20C alkylsulphinyl, arylsulphinyl, 1-20C alkylsulphonyl, arylsulphonyl, amino, 1-20C alkylamino, 2-20C alkenylamino, 2-20C alkynylamino, arylamino, heterocyclylamino, amino 1-20C alkyl, aminocarbonyl, cyano, hydroxyl, hydroxy 1-20C

alkyl, 1-20C alkoxy, carbonyl, aryloxy, carbonyl, heterocyclyloxy, carbonyl, formyl, nitro, nitro 1-alkylsulphanyl, halo 1-20C alkylsulphonyl, 1-20C alkylcarbonyl, arylcarbonyl, heterocyclylcar more halo, 1-20C alkyl, 1-20C alkoxy, 1-20C alkylthio, 1-20C alkylsulphanyl, 1-20C alkylsul aryl 1-20C alkyl, aryl 1-20C alkyloxy, aryl 1-20C alkylthio, aryl 1-20C alkylamino, aminosulphalosalphanyl, amino 1-20C alkyl, halo 1-20C alkyl or 1-20C alkylcarbonyl; R4 = hydrido, 1-alkenyl, 3-12C cycloalkylenyl, 1-20C alkoxy, 1-20C alkylthio, arylthio, carboxy, 1-20C alkoxy heterocyclyl 1-20C alkyl, amino, 1-20C alkylamino, 2-20C alkynylamino, arylamino, heterocyclylaminoheterocyclylamino, the aryl, heterocyclyl, 3-12C cycloalkyl and 3-12C cycloalkenyl gr 20C alkenyl, 2-20C alkynyl, 1-20C alkoxy, aryloxy, aralkoxy, halo 1-20C alkyl or 1-20C alkyl heterocyclylamino 1-20C alkyl being optionally substituted by one or more 1-20C alkyl; R6, R8 = hydrido, 1-20C alkyl, 2-20C alkenyl or 2-20C alkynyl or together form a 3- to 8-membered ring. USE - (I) are useful for treating TNF mediated disorders and p38 kinase mediated disorders: arthritis and asthma. The disorders are bone resorption, graft vs. host reaction, atherosclerosis, inflammatory disease state, adult respiratory distress syndrome, asthma, chronic pulmonary thrombus, glomerulonephritis, Crohn's disease, ulcerative colitis, inflammatory bowel diseases. ADVANTAGE - None given.

Title Terms /Index Terms/Additional Words: NEW; PYRAZOLE; DERIVATIVE; USEFUL; TRI

#### Class Codes

#### International Patent Classification

| IPC  | Class Level | Scope | Position  | Status | Version Date |
|--|-------------|-------|-----------|--------|--------------|
| C07D-401/04  |             |       | Main      |        | "Version 7"  |
| A61K-031/4439; A61K-031/496; A61P-001/00; A61P-001/04; A61P-011/00; A61P-011/06; A61P-013/12; A61P-017/06; A61P-019/02; A61P-019/06; A61P-019/10; A61P-029/00; A61P-037/06; A61P-043/00; A61P-007/02; A61P-009/00; A61P-009/10 |             |       | Secondary |        | "Version 7"  |
| C07D-0401/04   | A           | I     |           | R      | 20060101     |
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## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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| (51) International Patent Classification <sup>6</sup> :<br>C07D 401/04, A61K 31/44  | A1  | (11) International Publication Number: WO 98/52941<br>(43) International Publication Date: 26 November 1998 (26.11.98) |
| <p>(21) International Application Number: PCT/US98/11684</p> <p>(22) International Filing Date: 22 May 1998 (22.05.98)</p> <p>(30) Priority Data:<br/>60/047,569 22 May 1997 (22.05.97) US</p> <p>(71) Applicant (for all designated States except US): G.D. SEARLE AND CO. [US/US]; P.O. Box 5110, Chicago, IL 60680 (US).</p> <p>(72) Inventors; and<br/>(75) Inventors/Applicants (for US only): HANSON, Gunnar, J. [US/US]; 7410 Keystone Avenue, Skokie, IL 60076 (US). LIAO, Shuyuan [CN/US]; 2N. 500 Diane Avenue, Glen Ellyn, IL 60137 (US).</p> <p>(74) Agents: ROEDEL, John, K., Jr. et al.; Senniger, Powers, Leavitt &amp; Roedel, 16th floor, One Metropolitan Square, St. Louis, MO 63102 (US).</p> | <p>(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).</p> <p>Published<br/>With international search report.<br/>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</p> |  |
| (54) Title: PYRAZOLE DERIVATIVES AS p38 KINASE INHIBITORS   |   |  |
| (57) Abstract   |   |  |
| <p>A class of pyrazole derivatives is described for use in treating p38 kinase mediated disorders. Compounds of particular interest are defined by Formula (I) wherein Q, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as described in the specification.</p> <div style="text-align: right;">(1)</div>  |   |  |

